

CLAIMS

1. A method of producing microparticles comprising a bioactive and a vehicle,
which method comprises providing a solvent having a bioactive dispersed or dissolved
5 therein and a vehicle dissolved therein, carrying out an emulsification in a non-solvent
phase to produce an emulsion comprising the bioactive and the vehicle in a solvent phase,
and evaporating the solvent to leave said microparticles, wherein a mixture of at least two
surfactants is employed to stabilise said emulsion and the HLB (hydrophilic-lipophilic
balance) of the mixture is up to 8 in order that the median diameter of the microparticles
10 is up to 100µm.
2. A method as claimed in claim 1, wherein said HLB is from 2 to 7.
3. A method as claimed in claim 1 or 2, wherein said HLB is from 3 to 5.
- 15 4. A method as claimed in any preceding claim, wherein said HLB is from 3 to 4.
5. A method as claimed in any preceding claim, wherein said mixture comprises
sorbitan monoleate and sorbitan dioleate.
- 20 6. A method as claimed in any preceding claim, wherein said mixture is an
equimolar mixture of two surfactants.
7. A method as claimed in any preceding claim, wherein the vehicle is a polymer
25 which enables pH-dependent and/or pH-independent release of the bioactive in the
gastrointestinal tract.
8. A method as claimed in any of claims 1 to 6, wherein the vehicle is a polymer
which enables pH-dependent release of the bioactive in the gastrointestinal tract.

9. A method as claimed in any preceding claim, wherein the vehicle is an acrylic-based polymer, a cellulose-based polymer or a polyvinyl-based polymer.
- 5 10. A method as claimed in claim 9, wherein the vehicle is a methacrylate polymer.
11. A method as claimed in any preceding claim, wherein the vehicle comprises Eudragit ® L100, Eudragit ® L100-55, Eudragit ® S100, Eudragit ® P4135, Eudragit ® RS100 or ethylcellulose.
- 10 12. A method as claimed in any of claims 1 to 8, wherein the vehicle is not Eudragit ® RS alone.
13. A method as claimed in any preceding claim, wherein the bioactive is
- 15 prednisolone, bendrofluazide or budesonide.
14. A method as claimed in any preceding claim, wherein the solvent is ethanol or a mixture of acetone and ethanol or methanol.
- 20 15. A method as claimed in any preceding claim, wherein the surfactants in said mixture are both added to the solvent phase, both added to the non-solvent phase, or wherein one is added to each phase.
16. A method as claimed in any preceding claim, wherein the non-solvent phase is
- 25 liquid paraffin.
17. A method as claimed in any preceding claim, wherein the emulsification is carried out at a temperature from 10 to 30°C.

18. A composition of microparticles obtainable by means of a method as claimed in any preceding claim.

19. A method of medical treatment comprising administering to a patient an effective
5 amount of microparticles as claimed in claim 18.